```
Welcome to STN International! Enter x:x
```

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

LOGINID:sssptau125txc

```
Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
     1
NEWS
        Apr 08
                 "Ask CAS" for self-help around the clock
NEWS
        Apr 09
                 BEILSTEIN: Reload and Implementation of a New Subject Area
        Apr 09
NEWS
                 ZDB will be removed from STN
NEWS
     5 Apr 19
                US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
                Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS
        Apr 22
        Apr 22
                BIOSIS Gene Names now available in TOXCENTER
NEWS
        Apr 22
                Federal Research in Progress (FEDRIP) now available
NEWS
NEWS 9
         Jun 03
                New e-mail delivery for search results now available
         Jun 10
                MEDLINE Reload
NEWS 10
NEWS 11
         Jun 10
                 PCTFULL has been reloaded
                 FOREGE no longer contains STANDARDS file segment
NEWS 12
         Jul 02
                 USAN to be reloaded July 28, 2002;
NEWS 13
         Jul 22
                 saved answer sets no longer valid
         Jul 29
                 Enhanced polymer searching in REGISTRY
NEWS 14
         Jul 30
                 NETFIRST to be removed from STN
NEWS 15
        Aug 08
                 CANCERLIT reload
NEWS 16
NEWS 17
                 PHARMAMarketLetter(PHARMAML) - new on STN
        Aug 08
NEWS 18
        Aug 08
                 NTIS has been reloaded and enhanced
NEWS 19
         Aug 19
                 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
                 IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 20
        Aug 19
                 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 21
        Aug 19
NEWS 22
        Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS 23
                 JAPIO has been reloaded and enhanced
         Sep 03
                Experimental properties added to the REGISTRY file
NEWS 24
         Sep 16
NEWS 25
        Sep 16
                CA Section Thesaurus available in CAPLUS and CA
                CASREACT Enriched with Reactions from 1907 to 1985
NEWS 26 Oct 01
        Oct 21 EVENTLINE has been reloaded
NEWS 27
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18
                DKILIT has been renamed APOLLIT
                More calculated properties added to REGISTRY
NEWS 32
        Nov 25
NEWS 33
        Dec 02
                 TIBKAT will be removed from STN
NEWS 34
        Dec 04
                 CSA files on STN
NEWS 35
        Dec 17
                 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17
                TOXCENTER enhanced with additional content
NEWS 37
        Dec 17
                Adis Clinical Trials Insight now available on STN
NEWS 38 Dec 30
                ISMEC no longer available
                Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 39
        Jan 13
                NUTRACEUT offering one free connect hour in February 2003
NEWS 40
        Jan 21
                 PHARMAML offering one free connect hour in February 2003
NEWS 41
         Jan 21
         Jan 29
                 Simultaneous left and right truncation added to COMPENDEX,
NEWS 42
                 ENERGY, INSPEC
```

NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

. نخور

STN Operating Hours Plus Help Desk Availability NEWS HOURS

General Internet Information NEWS INTER

Welcome Banner and News Items NEWS LOGIN

Direct Dial and Telecommunication Network Access to STN NEWS PHONE

CAS World Wide Web Site (general information) NEWS WWW

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:43:46 ON 03 FEB 2003

=> file medicine FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED COST IN U.S. DOLLARS

SINCE FILE TOTAL SESSION ENTRY 0.21 0.21

FULL ESTIMATED COST

FILE 'ADISCTI' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Adis International Ltd. (ADIS)

FILE 'ADISINSIGHT' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Adis International Ltd. (ADIS)

FILE 'ADISNEWS' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Adis International Ltd. (ADIS)

FILE 'BIOSIS' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC. (R)

FILE 'BIOTECHNO' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'CANCERLIT' ENTERED AT 10:44:04 ON 03 FEB 2003

FILE 'CAPLUS' ENTERED AT 10:44:04 ON 03 FEB 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CEN' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE 'DDFB' ACCESS NOT AUTHORIZED

FILE 'DDFU' ACCESS NOT AUTHORIZED

FILE 'DGENE' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 THOMSON DERWENT

FILE 'DRUGB' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 THOMSON DERWENT

FILE 'DRUGLAUNCH' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 IMSWORLD Publications Ltd

FILE 'DRUGMONOG2' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 IMSWORLD Publications Ltd

FILE 'DRUGNL' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 IMSWORLD Publications Ltd

FILE 'DRUGU' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 THOMSON DERWENT

FILE 'EMBAL' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Elsevier Science B.V. All rights reserved.

FILE 'EMBASE' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Elsevier Science B.V. All rights reserved.

FILE 'ESBIOBASE' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Elsevier Science B.V., Amsterdam. All rights reserved.

FILE 'IFIPAT' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 IFI CLAIMS(R) Patent Services (IFI)

FILE 'IPA' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 American Society of Hospital Pharmacists (ASHP)

FILE 'JICST-EPLUS' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Japan Science and Technology Corporation (JST)

FILE 'KOSMET' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 International Federation of the Societies of Cosmetics Chemists

FILE 'LIFESCI' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Cambridge Scientific Abstracts (CSA)

FILE 'MEDICONF' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (c) 2003 FAIRBASE Datenbank GmbH, Hannover, Germany

FILE 'MEDLINE' ENTERED AT 10:44:04 ON 03 FEB 2003

FILE 'NAPRALERT' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Board of Trustees of the University of Illinois, University of Illinois at Chicago.

FILE 'NLDB' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 Gale Group. All rights reserved.

FILE 'PASCAL' ENTERED AT 10:44:04 ON 03 FEB 2003
Any reproduction or dissemination in part or in full,
by means of any process and on any support whatsoever
is prohibited without the prior written agreement of INIST-CNRS.
COPYRIGHT (C) 2003 INIST-CNRS. All rights reserved.

FILE 'PHARMAML' ENTERED AT 10:44:04 ON 03 FEB 2003 Copyright 2003 (c) MARKETLETTER Publications Ltd. All rights reserved.

FILE 'PHIC' ENTERED AT 10:44:04 ON 03 FEB 2003 COPYRIGHT (C) 2003 PJB Publications Ltd. (PJB)

```
FILE 'PHIN' ENTERED AT 10:44:04 ON 03 FEB 2003
COPYRIGHT (C) 2003 PJB Publications Ltd. (PJB)
FILE 'SCISEARCH' ENTERED AT 10:44:04 ON 03 FEB 2003
COPYRIGHT (C) 2003 Institute for Scientific Information (ISI) (R)
FILE 'TOXCENTER' ENTERED AT 10:44:04 ON 03 FEB 2003
COPYRIGHT (C) 2003 ACS
FILE 'USPATFULL' ENTERED AT 10:44:04 ON 03 FEB 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
FILE 'USPAT2' ENTERED AT 10:44:04 ON 03 FEB 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
=> s ejaculat?
         52890 EJACULAT?
=> s 11 and depressant
            92 L1 AND DEPRESSANT
=> s 12 and topical
  10 FILES SEARCHED...
  17 FILES SEARCHED...
  26 FILES SEARCHED...
            15 L2 AND TOPICAL
L3
=> s 13 and imipramine
  15 FILES SEARCHED...
             7 L3 AND IMIPRAMINE
=> d 14 1-7
     ANSWER 1 OF 7 USPATFULL
T.4
       2002:317437 USPATFULL
AN
       Methods for the treatment of neuroleptic and related disorders using
TI
       sertindole derivatives
       Jerussi, Thomas P., Framingham, MA, United States
IN
       Sepracor Inc., Marlborough, MA, United States (U.S. corporation)
PΑ
PΙ
       US 6489341
                          В1
                               20021203
       US 2000-580492
                                20000530 (9)
ΑI
                           19990602 (60)
PRAI
       US 1999-137447P
DT
       Utility
       GRANTED
FS
LN.CNT 1201
INCL
       INCLM: 514/323.000
       INCLS: 514/339.000
             514/323.000
NCL
       NCLM:
       NCLS: 514/339.000
IC
       [7]
       ICM: A61K031-454
       ICS: A61K031-4439
       514/323; 514/339
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.4
     ANSWER 2 OF 7 USPATFULL
       2002:236086 USPATFULL
AN
ΤI
       Tropane-derivatives, their preparation and use
       Scheel-Kruger, Jorgen, Glostrup, DENMARK
TN
       Moldt, Peter, Humlebaek, DENMARK
       Watjen, Frank, Herlev, DENMARK
PA
       NeuroSearch A/S (non-U.S. corporation)
```

```
US 2002128284
                          A1
                                20020912
ΡI
       US 2002-99642
                          A1
                                20020315 (10)
ΑI
       Continuation of Ser. No. US 2001-814413, filed on 21 Mar 2001, GRANTED,
RLI
       Pat. No. US 6395748 Continuation of Ser. No. US 1998-101524, filed on 10
       Jul 1998, GRANTED, Pat. No. US 6288079 A 371 of International Ser. No.
       WO 1997-EP850, filed on 21 Feb 1997, UNKNOWN
PRAI
       DK 1996-194
                           19960222
DT
       Utility
       APPLICATION
FS
LN.CNT 1141
       INCLM: 514/304.000
INCL
       INCLS: 546/124.000
       NCLM: 514/304.000
NCL
       NCLS: 546/124.000
IC
       [7]
       ICM: C07D451-02
       ICS: A61K031-46
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 3 OF 7 USPATFULL
L4
AN
       2001:152976 USPATFULL
TI
       Tropane-derivatives, their preparation and use
TN
       Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark
       Moldt, Peter, Humlebaek, Denmark
       Watjen, Frank, Herlev, Denmark
       NeuroSearch A/S, Denmark (non-U.S. corporation)
PA
PΙ
       US 6288079
                           В1
                                20010911
       WO 9730997 19970828
       US 1998-101524
                                19980710 (9)
ΑI
       WO 1997-EP850
                                19970221
                                19980710
                                          PCT 371 date
                                19980710
                                          PCT 102(e) date
       DK 1996-194
                            19960222
PRAI
DT
       Utility
FS
       GRANTED
LN.CNT 1216
       INCLM: 514/304.000
INCL
       INCLS: 546/124.000
NCL
       NCLM:
             514/304.000
       NCLS:
              546/124.000
IC
       [7]
       ICM: A61K031-46
       ICS: C07D451-02; A61P025-00
       546/124; 546/304
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 4 OF 7 USPATFULL
L4
       2001:145307 USPATFULL
AN
TI
       Tropane-derivatives, their preparation and use
ΙN
       Scheel-Kruger, Jorgen, Glostrup, Denmark
       Moldt, Peter, Humlebaek, Denmark
       Watjen, Frank, Herlev, Denmark
       NeuroSearch A/S (non-U.S. corporation)
PΑ
                                20010830
PI
       US 2001018444
                          Α1
       US 6395748
                          В2
                                20020528
                                20010321 (9)
ΑT
       US 2001-814413
                          A1
       Continuation of Ser. No. US 1998-101524, filed on 10 Jul 1998, PENDING A
RLI
       371 of International Ser. No. WO 1997-EP850, filed on 21 Feb 1997,
       UNKNOWN
PRAI
                           19960222
       DK 1996-194
DT
       Utility
FS
       APPLICATION
```

```
LN.CNT 1204
       INCLM: 514/304.000
INCL
       INCLS: 546/124.000; 546/125.000
       NCLM: 514/304.000
NCL
       [7]
IC
       ICM: A61K031-46
       ICS: C07D451-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 5 OF 7 USPATFULL
L4
       2000:102308 USPATFULL
AN
TΙ
       8-azabicyclo[3.2.1.]oct-2-ene derivatives, their preparation and use
IN
       Moldt, Peter, Humlebaek, Denmark
       Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark
       Olsen, Gunnar M., Copenhagen, Denmark
       Nielsen, Elsebet .O slashed.stergaard, Copenhagen, Denmark
       Neurosearch A/S, Ballerup, Denmark (non-U.S. corporation)
PA
                                20000808
PΙ
       US 6100275
       WO 9713770 19970417
       US 1998-43294
                                19980518 (9)
ΑI
                                19961011
       WO 1996-EP4449
                                19980518
                                          PCT 371 date
                                19980518 PCT 102(e) date
PRAI
       DK 1995-1156
                            19951013
DT
       Utility
FS
       Granted
LN.CNT 922
       INCLM: 514/304.000
INCL
       INCLS: 546/124.000; 546/125.000
              514/304.000
NCL
       NCLM:
       NCLS:
              546/124.000; 546/125.000
IC
       [7]
       ICM: A01N043-42
       ICS: C07D451-04; C07D401-04
       514/304; 546/124; 546/125
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 6 OF 7 USPATFULL
L4
AN
       1999:160021 USPATFULL
TI
       Fused tropane-derivatives as neurotransmitter reuptake inhibitors
       Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark
TN
       Olsen, Gunnar M., Copenhagen N., Denmark
       Nielsen, Elsbet .O slashed.stergaard, Copenhagen K, Denmark
       Dahl, Bjarne Hugo, Aller.o slashed.d, Denmark
       Jensen, Leif Helth, Copenhagen V, Denmark
       NeuroSearch A/S, Ballerup, Denmark (non-U.S. corporation)
PA
                                19991207
PΙ
       US 5998405
       WO 9716451
                  19970509
ΑI
       US 1998-51107
                                19980604 (9)
       WO 1996-EP4793
                                19961104
                                19980604
                                          PCT 371 date
                                19980604
                                          PCT 102(e) date
       DK 1996-146
                            19960213
PRAI
       DK 1996-122395
                           19961102
DT
       Utility
FS
       Granted
LN.CNT 1298
       INCLM: 514/214.000
INCL
       INCLS: 546/079.000; 546/098.000; 546/099.000; 540/479.000; 540/586.000;
              514/290.000; 514/296.000
NCL
       NCLM:
              514/183.000
              514/214.030; 514/290.000; 514/296.000; 540/479.000; 540/586.000;
```

NeuroSearch A/S, DENMARK (non-U.S. corporation) US 6395748 B2 20020528 PΙ

ΑI US 2001-814413 20010321 (9)

Continuation of Ser. No. US 101524, now patented, Pat. No. US 6288079 RLI

DK 1996-194 19960222 PRAI

DTUtility GRANTED FS LN.CNT 1177

IC

EXF

T.4

AN

ΤI

IN

INCLM: 514/304.000 TNCL NCLM: 514/304.000 NCT. IC [7]

ICM: A61K031-46 ICS: A61P025-28

514/304 EXF

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### => d 14 kwic 1-7

# ANSWER 1 OF 7 USPATFULL

. . . These are reportedly due to antagonism of the SUMM .alpha..sub.1-adrenergic receptor and include, but are not limited to, nasal congestion, decreased ejaculatory volume not associated with retrograde ejaculation, loss of libido, erectile dysfunction, anorgasmia, dizziness, drymouth, tachycardia, elevation in the amounts of liver enzymes, and prolongation of the. .

compositions and/or unit dosage forms of sertindole derivatives SUMM which can be administered by oral, mucosal, parenteral, sublingual, transdermal, buccal, or topical routes.

. . but are not limited to: opiate analgesics; non-opiate SUMM analgesics; analgesics and antipyretics; nonsteroidal anti-inflammatory drugs; tricyclic antidepressants such as desipramine, imipramine , amytriptiline, and nortriptile; anticonvulsants such as carbamazepine and valproate; serotonin reuptake inhibitors such as fluoxetine, paraoxetine, sertraline, and methysergide; mixed.

. . oral, mucosal (including rectal, nasal, or vaginal), parenteral SUMM (including subcutaneous, intramuscular, bolus injection, intraarterial, or intravenous), sublingual, transdermal, buccal, or topical administration.

. . . but are not limited to: opiate analgesics; non-opiate SUMM analgesics; analgesics and antipyretics; nonsteroidal anti-inflammatory drugs; tricyclic antidepressants such as desipramine, imipramine , amytriptiline, and nortriptile; anticonvulsants such as carbamazepine and valproate; serotonin reuptake inhibitors such as fluoxetine, paraoxetine, sertraline, and methysergide; mixed.

What is claimed is: CLM12. The method of claim 11 wherein the central nervous system depressant is selected from the group consisting of alcohol, barbiturates, ethchlorvynol, glutethimide, methaqualone, methyprylon and natural and synthetic opiates.

19. The method of claim 18 wherein the tricyclic antidepressant is selected-from the group consisting of desipramine, imipramine, amytriptiline and nortriptile.

### L4 ANSWER 2 OF 7 USPATFULL

- SUMM . . . ageing, acquired immundeficiency syndrome dementia complex, memory dysfunction in ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of steep, autism, mutism or trichotillomania.
- Imipramine and Amitriptyline and noradrenaline-reuptake inhibitors, such as Imipramine and Amitriptyline and noradrenaline-reuptake inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are currently used pharmaceuticals in anti-depressant therapy.

  Moreover, several lines of preclinical and clinical evidence indicate that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and Paroxetine.
- SUMM [0007] Paradoxical currently used serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.
- SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.
- SUMM [0116] Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, sub-cutaneous and intravenous) administration or in a form suitable for administration.
- SUMM [0130] For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .
- SUMM [0131] Formulations suitable for **topical** administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .

#### L4 ANSWER 3 OF 7 USPATFULL

- SUMM . . . ageing, acquired immunodeficiency syndrome dementia complex, memory dysfunction in ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.
- Mixed noradrenalin and serotonin re-uptake inhibitors, such as

  Imipramine and Amitriptyline and noradrenaline-reuptake
  inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are
  currently used pharmaceuticals in anti-depressant therapy.

  Moreover, several lines of preclinical and clinical evidence indicate
  that an enhancement of serotonin-mediated neurotransmission might
  underlie the therapeutic effect of the most recent and currently used
  drugs in anti-depressant therapy: Fluoxetine, Citalopram and
  Paroxetine.
- SUMM Paradoxical currently used serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-

depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

- SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.
- DETD Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, subcutaneous and intravenous) administration or in a form suitable for administration. . .
- DETD For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .
- DETD Formulations suitable for topical administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .

#### L4 ANSWER 4 OF 7 USPATFULL

- SUMM . . . ageing, acquired immunodeficency syndrome dementia complex, memory dysfunction in ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.
- SUMM [0006] Mixed noradrenalin and serotonin re-uptake inhibitors, such as Imipramine and Amitriptyline and noradrenaline-reuptake inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are currently used pharmaceuticals in anti-depressant therapy.

  Moreover, several lines of preclinical and clinical evidence indicate that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and Paroxetine.
- SUMM [0007] Paradoxical currently used serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.
- SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.
- SUMM [0114] Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, sub-cutaneous and intravenous) administration or in a form suitable for administration.
- SUMM [0128] For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .
- SUMM [0129] Formulations suitable for **topical** administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .

# L4 ANSWER 5 OF 7 USPATFULL

SUMM Noradrenalin and serotonin re-uptake inhibitors are currently used as pharmaceuticals in anti-depressant therapy (Desipramine, Nortriptyline, and Protriptyline are inhihibitors of

noradrenaline-reuptake and **Imipramine** and Amitriptyline are mixed serotonine-reuptake and noradrenaline-reuptake inhibitors).

SUMM . . . that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy, such as fluoxetine, citalopram and Paroxetine.

Paradoxical serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

SUMM . . . inhibiting activity combined with a well balanced dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.

SUMM . . . panic disorder, anxiety, post-traumatic syndrome, memory loss, dementia of ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.

SUMM Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, sub-cutaneous and intravenous) administration or in a form suitable for administration. . .

SUMM For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .

SUMM Formulations suitable for topical administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .

# L4 ANSWER 6 OF 7 USPATFULL

SUMM Noradrenalin and serotonin re-uptake inhibitors are currently used as pharmaceuticals in anti-depressant therapy (Desipramine, Nortriptyline, and Protriptyline are inhibitors of noradrenaline-reuptake and Imipramine and Amitriptyline are mixed serotonine-reuptake and noradrenaline-reuptake inhibitors).

SUMM . . . that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and Paroxetine.

Paradoxical serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.

SUMM . . . panic disorder, anxiety, post-traumatic syndrome, memory loss, dementia of ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.

SUMM Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, subcutaneous and intravenous)

administration or in a form suitable for administration. For topical administration to the epidermis the compounds SUMM

according to the invention may be formulated as ointments, creams or

lotions, or as.

Formulations suitable for topical administration in the mouth SUMM include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles.

#### ANSWER 7 OF 7 USPAT2 L4

. . ageing, acquired immunodeficency syndrome dementia complex, SUMM memory dysfunction in ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.

Mixed noradrenalin and serotonin re-uptake inhibitors, such as SUMM Imipramine and Amitriptyline and noradrenaline-reuptake inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are currently used pharmaceuticals in anti-depressant therapy. Moreover, several lines of preclinical and clinical evidence indicate that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and Paroxetine.

Paradoxical currently used serotonin re-uptake inhibitors inhibit the SUMM serotonin transporter within minutes whereas their full antidepressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

. . . activity combined with a well balanced moderate dopamine SUMM re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.

Pharmaceutical formulations include those suitable for oral, rectal, SUMM nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, sub-cutaneous and intravenous) administration or in a form suitable for administration.

For topical administration to the epidermis the compounds SUMM according to the invention may be formulated as ointments, creams or lotions, or as.

Formulations suitable for topical administration in the mouth SUMM include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles.

=> file uspatfull COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 53.18 53.39

FILE 'USPATFULL' ENTERED AT 10:47:51 ON 03 FEB 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 30 Jan 2003 (20030130/PD)
FILE LAST UPDATED: 30 Jan 2003 (20030130/ED)
HIGHEST GRANTED PATENT NUMBER: US6513163
HIGHEST APPLICATION PUBLICATION NUMBER: US2003024026
CA INDEXING IS CURRENT THROUGH 30 Jan 2003 (20030130/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 30 Jan 2003 (20030130/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<< <<< >>> original, i.e., the earliest published granted patents or >>> applications. USPAT2 contains full text of the latest US <<< >>> publications, starting in 2001, for the inventions covered in <<< >>> USPATFULL. A USPATFULL record contains not only the original <<< published document but also a list of any subsequent <<< >>> <<< publications. The publication number, patent kind code, and >>> <<< publication date for all the US publications for an invention are displayed in the PI (Patent Information) field of USPATFULL <<< >>> records and may be searched in standard search fields, e.g., /PN, <<< <<< >>> /PK, etc. <<< >>> USPATFULL and USPAT2 can be accessed and searched together >>> through the new cluster USPATALL. Type FILE USPATALL to <<< <<< >>> enter this cluster. <<< >>> <<< >>> Use USPATALL when searching terms such as patent assignees, >>> classifications, or claims, that may potentially change from <<< <<< >>> the earliest to the latest publication.

This file contains CAS Registry Numbers for easy and accurate substance identification.

- => s efaculat? and depressant and imipramine and topical
  - 0 EFACULAT?
  - 5900 DEPRESSANT
  - 2130 IMIPRAMINE
  - 45616 TOPICAL
- L5 0 EFACULAT? AND DEPRESSANT AND IMIPRAMINE AND TOPICAL
- => s ejaculat? and depressant and imipramine and topical
  - 1339 EJACULAT?
  - 5900 DEPRESSANT
  - 2130 IMIPRAMINE
  - 45616 TOPICAL
- L6 6 EJACULAT? AND DEPRESSANT AND IMIPRAMINE AND TOPICAL
- => d 16 1-6 bib, kwic
- L6 ANSWER 1 OF 6 USPATFULL
- AN 2002:317437 USPATFULL
- TI Methods for the treatment of neuroleptic and related disorders using sertindole derivatives

```
Jerussi, Thomas P., Framingham, MA, United States
ΙN
       Sepracor Inc., Marlborough, MA, United States (U.S. corporation)
PA
                               20021203
       US 6489341
                          В1
PΙ
       US 2000-580492
                               20000530 (9)
ΑI
       US 1999-137447P
                           19990602 (60)
PRAI
DT
       Utility
FS
       GRANTED
       Primary Examiner: Spivack, Phyllis G.
EXNAM
       Pennie & Edmonds, LLP
LREP
       Number of Claims: 37
CLMN
       Exemplary Claim: 1
ECL
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 1201
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       . . . These are reportedly due to antagonism of the
       .alpha..sub.1-adrenergic receptor and include, but are not limited to,
       nasal congestion, decreased ejaculatory volume not associated
       with retrograde ejaculation, loss of libido, erectile
       dysfunction, anorgasmia, dizziness, drymouth, tachycardia, elevation in
       the amounts of liver enzymes, and prolongation of the.
       . . . compositions and/or unit dosage forms of sertindole derivatives
SUMM
       which can be administered by oral, mucosal, parenteral, sublingual,
       transdermal, buccal, or topical routes.
       . . . but are not limited to: opiate analgesics; non-opiate
SUMM
       analgesics; analgesics and antipyretics; nonsteroidal anti-inflammatory
       drugs; tricyclic antidepressants such as desipramine, imipramine
       , amytriptiline, and nortriptile; anticonvulsants such as carbamazepine
       and valproate; serotonin reuptake inhibitors such as fluoxetine,
       paraoxetine, sertraline, and methysergide; mixed.
       . . . oral, mucosal (including rectal, nasal, or vaginal), parenteral
SUMM
       (including subcutaneous, intramuscular, bolus injection, intraarterial,
       or intravenous), sublingual, transdermal, buccal, or topical
       administration.
       . . . but are not limited to: opiate analgesics; non-opiate
SUMM
       analgesics; analgesics and antipyretics; nonsteroidal anti-inflammatory
       drugs; tricyclic antidepressants such as desipramine, imipramine
       , amytriptiline, and nortriptile; anticonvulsants such as carbamazepine
       and valproate; serotonin reuptake inhibitors such as fluoxetine,
       paraoxetine, sertraline, and methysergide; mixed.
       What is claimed is: The method of claim II wherein the central nervous system
CLM
       depressant is selected from the group consisting of alcohol,
       barbiturates, ethchlorvynol, glutethimide, methaqualone, methyprylon and
       natural and synthetic opiates.
       19. The method of claim 18 wherein the tricyclic antidepressant is
       selected-from the group consisting of desipramine, imipramine,
       amytriptiline and nortriptile.
     ANSWER 2 OF 6 USPATFULL
L6
       2002:236086 USPATFULL
ΑN
       Tropane-derivatives, their preparation and use
TI
IN
       Scheel-Kruger, Jorgen, Glostrup, DENMARK
       Moldt, Peter, Humlebaek, DENMARK
       Watjen, Frank, Herlev, DENMARK
       NeuroSearch A/S (non-U.S. corporation)
PA
       US 2002128284
                               20020912
PΙ
                          A1
                               20020315 (10)
ΑI
       US 2002-99642
                          A1
       Continuation of Ser. No. US 2001-814413, filed on 21 Mar 2001, GRANTED,
RLI
       Pat. No. US 6395748 Continuation of Ser. No. US 1998-101524, filed on 10
```

Jul 1998, GRANTED, Pat. No. US 6288079 A 371 of International Ser. No.

```
WO 1997-EP850, filed on 21 Feb 1997, UNKNOWN
                           19960222
       DK 1996-194
PRAI
       Utility
DT
       APPLICATION
FS
       Schwegman, Lundberg, Woessner & Kluth, P.A., P.O. Box 2938, Minneapolis,
LREP
       MN, 55402
       Number of Claims: 4
CLMN
ECL
       Exemplary Claim: 1
       No Drawings
DRWN
LN.CNT 1141
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       . . ageing, acquired immundeficiency syndrome dementia complex,
       memory dysfunction in ageing, social phobia, attention deficit
       hyperactivity disorder, chronic fatigue syndrome, premature
       ejaculation, erectile difficulty, anorexia nervosa, disorders of
       steep, autism, mutism or trichotillomania.
       [0006] Mixed noradrenalin and serotonin re-uptake inhibitors, such as
SUMM
       Imipramine and Amitriptyline and noradrenaline-reuptake
       inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are
       currently used pharmaceuticals in anti-depressant therapy.
       Moreover, several lines of preclinical and clinical evidence indicate
       that an enhancement of serotonin-mediated neurotransmission might
       underlie the therapeutic effect of the most recent and currently used
       drugs in anti-depressant therapy: Fluoxetine, Citalopram and
       Paroxetine.
       [0007] Paradoxical currently used serotonin re-uptake inhibitors inhibit
SUMM
       the serotonin transporter within minutes whereas their full anti-
       depressant effect is seen only after three to four weeks of
       treatment, indicating that re-uptake inhibition per se is not
       responsible. . . the antidepressant response, but rather that further
       adaptive changes underlie and/or contribute to their therapeutic effect.
       The delayed onset of anti-depressant effect is considered to
       be a serious drawback to currently used monoamine re-uptake inhibitors.
            . activity combined with a well balanced moderate dopamine
SUMM
       re-uptake inhibiting activity may therefore provide agents with a rapid
       onset of anti-depressant effect.
       [0116] Pharmaceutical formulations include those suitable for oral,
SUMM
       rectal, nasal, topical (including buccal and sub-lingual),
       vaginal or parenteral (including intramuscular, sub-cutaneous and
       intravenous) administration or in a form suitable for administration.
       [0130] For topical administration to the epidermis the
SUMM
       compounds according to the invention may be formulated as ointments,
       creams or lotions, or as.
       [0131] Formulations suitable for topical administration in the
SUMM
       mouth include lozenges comprising active agent in a flavoured base,
       usually sucrose and acacia or tragacanth; pastilles.
L6
     ANSWER 3 OF 6 USPATFULL
       2001:152976 USPATFULL
ΑN
TI
       Tropane-derivatives, their preparation and use
       Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark
IN
       Moldt, Peter, Humlebaek, Denmark
       Watjen, Frank, Herlev, Denmark
       NeuroSearch A/S, Denmark (non-U.S. corporation)
PA
ΡI
       US 6288079
                          В1
                               20010911
       WO 9730997 19970828
                               19980710 (9)
       US 1998-101524
ΑI
       WO 1997-EP850
                               19970221
                               19980710 PCT 371 date
                               19980710 PCT 102(e) date
                           19960222
PRAI
       DK 1996-194
```

```
DT
       Utility
      GRANTED
FS
      Primary Examiner: Huang, Evelyn Mei
EXNAM
       Schwegman, Lundberg, Woessner & Kluth, P.A.
       Number of Claims: 15
CLMN
ECL
       Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 1216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
               ageing, acquired immunodeficiency syndrome dementia complex,
      memory dysfunction in ageing, social phobia, attention deficit
      hyperactivity disorder, chronic fatigue syndrome, premature
       ejaculation, erectile difficulty, anorexia nervosa, disorders of
       sleep, autism, mutism or trichotillomania.
SUMM
      Mixed noradrenalin and serotonin re-uptake inhibitors, such as
       Imipramine and Amitriptyline and noradrenaline-reuptake
       inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are
       currently used pharmaceuticals in anti-depressant therapy.
      Moreover, several lines of preclinical and clinical evidence indicate
       that an enhancement of serotonin-mediated neurotransmission might
       underlie the therapeutic effect of the most recent and currently used
       drugs in anti-depressant therapy: Fluoxetine, Citalopram and
       Paroxetine.
       Paradoxical currently used serotonin re-uptake inhibitors inhibit the
SUMM
       serotonin transporter within minutes whereas their full anti-
       depressant effect is seen only after three to four weeks of
       treatment, indicating that re-uptake inhibition per se is not
       responsible. . . the antidepressant response, but rather that further
       adaptive changes underlie and/or contribute to their therapeutic effect.
       The delayed onset of anti-depressant effect is considered to
       be a serious drawback to currently used monoamine re-uptake inhibitors.
                activity combined with a well balanced moderate dopamine
SUMM
       re-uptake inhibiting activity may therefore provide agents with a rapid
       onset of anti-depressant effect.
       Pharmaceutical formulations include those suitable for oral, rectal,
DETD
       nasal, topical (including buccal and sub-lingual), vaginal or
       parenteral (including intramuscular, subcutaneous and intravenous)
       administration or in a form suitable for administration.
       For topical administration to the epidermis the compounds
DETD
       according to the invention may be formulated as ointments, creams or
       lotions, or as.
       Formulations suitable for topical administration in the mouth
DETD
       include lozenges comprising active agent in a flavoured base, usually
       sucrose and acacia or tragacanth; pastilles.
L6
     ANSWER 4 OF 6 USPATFULL
ΑN
       2001:145307 USPATFULL
TI
       Tropane-derivatives, their preparation and use
       Scheel-Kruger, Jorgen, Glostrup, Denmark
IN
       Moldt, Peter, Humlebaek, Denmark
       Watjen, Frank, Herlev, Denmark
       NeuroSearch A/S (non-U.S. corporation)
PA
                               20010830
PΙ
       US 2001018444
                          Α1
                          В2
                               20020528
       US 6395748
                               20010321 (9)
                          A1
       US 2001-814413
ΑI
       Continuation of Ser. No. US 1998-101524, filed on 10 Jul 1998, PENDING A
RLI
       371 of International Ser. No. WO 1997-EP850, filed on 21 Feb 1997,
       UNKNOWN
PRAI
       DK 1996-194
                           19960222
DT
       Utility
FS
       APPLICATION
       SCHWEGMAN, LUNDBERG, WOESSNER & KLUTH, P.O. BOX 2938, MINNEAPOLIS, MN,
LREP
```

55402
CLMN Number of Claims: 9
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1204
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Paroxetine.

SUMM . . . ageing, acquired immunodeficency syndrome dementia complex, memory dysfunction in ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature

hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.

SUMM [0006] Mixed noradrenalin and serotonin re-uptake inhibitors, such as Imipramine and Amitriptyline and noradrenaline-reuptake inhibitors, such as Desipramine, Nortriptyline, and Protriptyline are currently used pharmaceuticals in anti-depressant therapy.

Moreover, several lines of preclinical and clinical evidence indicate that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and

SUMM [0007] Paradoxical currently used serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.

SUMM [0114] Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, sub-cutaneous and intravenous) administration or in a form suitable for administration.

SUMM [0128] For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .

SUMM [0129] Formulations suitable for **topical** administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .

L6 ANSWER 5 OF 6 USPATFULL AN 2000:102308 USPATFULL

TI 8-azabicyclo[3.2.1.]oct-2-ene derivatives, their preparation and use

IN Moldt, Peter, Humlebaek, Denmark

Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark

Olsen, Gunnar M., Copenhagen, Denmark

Nielsen, Elsebet .O slashed.stergaard, Copenhagen, Denmark Neurosearch A/S, Ballerup, Denmark (non-U.S. corporation)

PI US 6100275 20000808

WO 9713770 19970417

AI US 1998-43294 19980518 (9) WO 1996-EP4449 19961011

19980518 PCT 371 date 19980518 PCT 102(e) date

PRAI DK 1995-1156 19951013

DT Utility FS Granted

PΑ

EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Keating, Dominic

LREP Birch, Stewart, Kolasch & Birch, LLP

```
Number of Claims: 9
CLMN
       Exemplary Claim: 1
ECL
DRWN
       No Drawings
LN.CNT 922
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Noradrenalin and serotonin re-uptake inhibitors are currently used as
SUMM
       pharmaceuticals in anti-depressant therapy (Desipramine,
       Nortriptyline, and Protriptyline are inhihibitors of
       noradrenaline-reuptake and Imipramine and Amitriptyline are
       mixed serotonine-reuptake and noradrenaline-reuptake inhibitors).
SUMM
         . . that an enhancement of serotonin-mediated neurotransmission
       might underlie the therapeutic effect of the most recent and currently
       used drugs in anti-depressant therapy, such as fluoxetine,
       citalopram and Paroxetine.
       Paradoxical serotonin re-uptake inhibitors inhibit the serotonin
SUMM
       transporter within minutes whereas their full anti-depressant
       effect is seen only after three to four weeks of treatment, indicating
       that re-uptake inhibition per se is not responsible. . . the
       antidepressant response, but rather that further adaptive changes
       underlie and/or contribute to their therapeutic effect. The delayed
       onset of anti-depressant effect is considered to be a serious
       drawback to currently used monoamine re-uptake inhibitors.
       . . . inhibiting activity combined with a well balanced dopamine
SUMM
       re-uptake inhibiting activity may therefore provide agents with a rapid
       onset of anti-depressant effect.
       . . . panic disorder, anxiety, post-traumatic syndrome, memory loss,
SUMM
       dementia of ageing, social phobia, attention deficit hyperactivity
       disorder, chronic fatigue syndrome, premature ejaculation,
       erectile difficulty, anorexia nervosa, disorders of sleep, autism,
       mutism or trichotillomania.
       Pharmaceutical formulations include those suitable for oral, rectal,
SUMM
       nasal, topical (including buccal and sub-lingual), vaginal or
       parenteral (including intramuscular, sub-cutaneous and intravenous)
       administration or in a form suitable for administration.
       For topical administration to the epidermis the compounds
SUMM
       according to the invention may be formulated as ointments, creams or
       lotions, or as.
       Formulations suitable for topical administration in the mouth
SUMM
       include lozenges comprising active agent in a flavoured base, usually
       sucrose and acacia or tragacanth; pastilles.
L6
     ANSWER 6 OF 6 USPATFULL
       1999:160021 USPATFULL
AN
       Fused tropane-derivatives as neurotransmitter reuptake inhibitors
TΙ
       Scheel-Kruger, J.o slashed.rgen, Glostrup, Denmark
TN
       Olsen, Gunnar M., Copenhagen N., Denmark
       Nielsen, Elsbet .O slashed.stergaard, Copenhagen K, Denmark
       Dahl, Bjarne Hugo, Aller.o slashed.d, Denmark
       Jensen, Leif Helth, Copenhagen V, Denmark
       NeuroSearch A/S, Ballerup, Denmark (non-U.S. corporation)
PA
                               19991207
PΙ
       US 5998405
       WO 9716451
                   19970509
       US 1998-51107
                               19980604 (9)
ΑI
                               19961104
       WO 1996-EP4793
                               19980604
                                         PCT 371 date
                               19980604
                                         PCT 102(e) date
PRAI
       DK 1996-146
                           19960213
       DK 1996-122395
                           19961102
DT
       Utility
       Granted
FS
       Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kessinger, Ann M.
EXNAM
       Birch, Stewart, Kolasch & Birch, LLP
```

CLMN Number of Claims: 8 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1298

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Noradrenalin and serotonin re-uptake inhibitors are currently used as pharmaceuticals in anti-depressant therapy (Desipramine, Nortriptyline, and Protriptyline are inhibitors of noradrenaline-reuptake and Imipramine and Amitriptyline are mixed serotonine-reuptake and noradrenaline-reuptake inhibitors).

SUMM . . . that an enhancement of serotonin-mediated neurotransmission might underlie the therapeutic effect of the most recent and currently used drugs in anti-depressant therapy: Fluoxetine, Citalopram and Paroxetine.

Paradoxical serotonin re-uptake inhibitors inhibit the serotonin transporter within minutes whereas their full anti-depressant effect is seen only after three to four weeks of treatment, indicating that re-uptake inhibition per se is not responsible. . . the antidepressant response, but rather that further adaptive changes underlie and/or contribute to their therapeutic effect. The delayed onset of anti-depressant effect is considered to be a serious drawback to currently used monoamine re-uptake inhibitors.

SUMM . . . activity combined with a well balanced moderate dopamine re-uptake inhibiting activity may therefore provide agents with a rapid onset of anti-depressant effect.

SUMM . . . panic disorder, anxiety, post-traumatic syndrome, memory loss, dementia of ageing, social phobia, attention deficit hyperactivity disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism or trichotillomania.

Pharmaceutical formulations include those suitable for oral, rectal, nasal, topical (including buccal and sub-lingual), vaginal or parenteral (including intramuscular, subcutaneous and intravenous) administration or in a form suitable for administration. . .

SUMM For topical administration to the epidermis the compounds according to the invention may be formulated as ointments, creams or lotions, or as. . .

SUMM Formulations suitable for topical administration in the mouth include lozenges comprising active agent in a flavoured base, usually sucrose and acacia or tragacanth; pastilles. . .